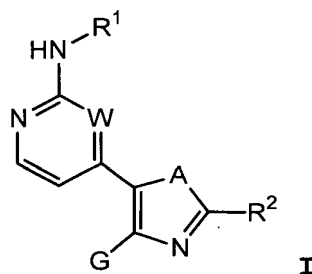


Claims:

1. A compound of formula **I**:



or a pharmaceutically acceptable derivative thereof,  
wherein:

W is nitrogen or CH;

G is hydrogen or C<sub>1-3</sub> aliphatic wherein one methylene unit  
of G is optionally replaced by -C(O)-, -C(O)O-,  
-C(O)NH-, -SO<sub>2</sub>-, or -SO<sub>2</sub>NH-;

A is -N-T<sub>(n)</sub>-R, oxygen, or sulfur;

R<sup>1</sup> is selected from -T<sub>(n)</sub>-R or -T<sub>(n)</sub>-Ar<sup>1</sup>;

each n is independently 0 or 1;

T is a C<sub>1-4</sub> alkylidene chain wherein one methylene unit of  
T is optionally replaced by -C(O)-, -C(O)O-, -C(O)NH-,  
-SO<sub>2</sub>-, or -SO<sub>2</sub>NH-;

Ar<sup>1</sup> is a 3-7 membered monocyclic saturated, partially  
saturated or aromatic ring having 0-3 heteroatoms  
independently selected from nitrogen, oxygen, or  
sulfur, or a 8-10 membered bicyclic saturated,  
partially saturated or aromatic ring having 0-5  
heteroatoms independently selected from nitrogen,  
oxygen, or sulfur, wherein each member of Ar<sup>1</sup> is  
optionally substituted with one -Z-R<sup>3</sup> and one to three  
additional groups independently selected from -R,  
halogen, oxo, -NO<sub>2</sub>, -CN, -OR, -SR, -N(R)<sub>2</sub>, -NRC(O)R,  
-NRC(O)N(R)<sub>2</sub>, -NRCO<sub>2</sub>R, -C(O)R, -CO<sub>2</sub>R, -OC(O)R,  
-C(O)N(R)<sub>2</sub>, -OC(O)N(R)<sub>2</sub>, -S(O)R, -SO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>,  
-NRSO<sub>2</sub>R, -NRSO<sub>2</sub>N(R)<sub>2</sub>, -C(O)C(O)R, or -C(O)CH<sub>2</sub>C(O)R;

each R is independently selected from hydrogen or a C<sub>1-6</sub> aliphatic, wherein said aliphatic is optionally substituted with one to three groups independently selected from oxo, -CO<sub>2</sub>R', -OR', -N(R')<sub>2</sub>, -SR', -NO<sub>2</sub>, -NR'C(O)R', -NR'C(O)N(R')<sub>2</sub>, -NR'CO<sub>2</sub>R', -C(O)R', -OC(O)R', -C(O)N(R')<sub>2</sub>, -OC(O)N(R')<sub>2</sub>, -S(O)R', -SO<sub>2</sub>R', -SO<sub>2</sub>N(R')<sub>2</sub>, -NR'SO<sub>2</sub>R', -NR'SO<sub>2</sub>N(R')<sub>2</sub>, -C(O)C(O)R', -C(O)CH<sub>2</sub>C(O)R', halogen, or -CN, or two R bound to the same nitrogen atom are taken together with that nitrogen atom to form a five or six membered heterocyclic or heteroaryl ring having one to two additional heteroatoms independently selected from oxygen, nitrogen, or sulfur;

each R' is independently selected from hydrogen or C<sub>1-6</sub> aliphatic, wherein said aliphatic is optionally substituted with one to three groups independently selected from oxo, -CO<sub>2</sub>H, -OH, -NH<sub>2</sub>, -SH, -NO<sub>2</sub>, -NHC(O)H, -NHC(O)NH<sub>2</sub>, -NHCO<sub>2</sub>H, -C(O)H, -OC(O)H, -C(O)NH<sub>2</sub>, -OC(O)NH<sub>2</sub>, -S(O)H, -SO<sub>2</sub>H, -SO<sub>2</sub>NH<sub>2</sub>, -NH<sub>2</sub>SO<sub>2</sub>H, -NHSO<sub>2</sub>NH<sub>2</sub>, -C(O)C(O)H, -C(O)CH<sub>2</sub>C(O)H, halogen, or -CN, or two R' bound to the same nitrogen atom are taken together with that nitrogen atom to form a five or six membered heterocyclic or heteroaryl ring optionally having one or two additional heteroatoms independently selected from nitrogen, oxygen, or sulfur;

Z is a C<sub>1</sub>-C<sub>6</sub> alkylidene chain wherein up to two non-adjacent methylene units of Z are optionally replaced by -C(O)-, -C(O)O-, -C(O)C(O)-, -C(O)N(R)-, -OC(O)N(R)-, -N(R)N(R)-, -N(R)N(R)C(O)-, -N(R)C(O)-, -N(R)C(O)O-, -N(R)C(O)N(R)-, -S(O)-, -SO<sub>2</sub>-, -N(R)SO<sub>2</sub>-, -SO<sub>2</sub>N(R)-, -N(R)SO<sub>2</sub>N(R)-, -O-, -S-, or -N(R)-;

R<sup>2</sup> is -Q<sub>(n)</sub>-Ar<sup>2</sup>;

Ar<sup>2</sup> is selected from a 3-7 membered monocyclic saturated, saturated or aromatic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or a 8-10 membered bicyclic saturated, saturated or aromatic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein each member of Ar<sup>2</sup> is optionally substituted with 1-5 groups independently selected from -Z-R<sup>3</sup>, -R, halogen, oxo, -NO<sub>2</sub>, -CN, -OR, -SR, -N(R)<sub>2</sub>, -NRC(O)R, -NRC(O)N(R)<sub>2</sub>, -NRCO<sub>2</sub>R, -C(O)R, -CO<sub>2</sub>R, -OC(O)R, -C(O)N(R)<sub>2</sub>, -OC(O)N(R)<sub>2</sub>, -S(O)R, -SO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>, -N(R)SO<sub>2</sub>R, -N(R)SO<sub>2</sub>N(R)<sub>2</sub>, -C(O)C(O)R, or -C(O)CH<sub>2</sub>C(O)R;

Q is a C<sub>1-3</sub> alkylidene chain wherein up to two non-adjacent methylene units of Q are optionally replaced by -C(O)-, -C(O)O-, -C(O)C(O)-, -C(O)N(R)-, -OC(O)N(R)-, -N(R)N(R)-, -N(R)N(R)C(O)-, -N(R)C(O)-, -N(R)C(O)O-, -N(R)C(O)N(R)-, -S(O)-, -SO<sub>2</sub>-, -N(R)SO<sub>2</sub>-, -SO<sub>2</sub>N(R)-, -N(R)SO<sub>2</sub>N(R)-, -O-, -S-, or -N(R)-;

R<sup>3</sup> is selected from -Ar<sup>3</sup>, -R, halogen, -NO<sub>2</sub>, -CN, -OR, -SR, -N(R)<sub>2</sub>, -NRC(O)R, -NRC(O)N(R)<sub>2</sub>, -NRCO<sub>2</sub>R, -C(O)R, -CO<sub>2</sub>R, -OC(O)R, -C(O)N(R)<sub>2</sub>, -OC(O)N(R)<sub>2</sub>, -SOR, -SO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>, -NRSO<sub>2</sub>R, -NRSO<sub>2</sub>N(R)<sub>2</sub>, -C(O)C(O)R, or -C(O)CH<sub>2</sub>C(O)R; and

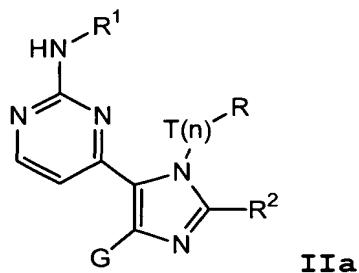
Ar<sup>3</sup> is a 5-6 membered saturated, partially saturated, or aromatic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein each member of Ar<sup>3</sup> is optionally substituted with halogen, oxo, -CN, -NO<sub>2</sub>, -R', -OR', -N(R')<sub>2</sub>, -N(R')C(O)R', -N(R')C(O)N(R')<sub>2</sub>, -N(R')CO<sub>2</sub>R', -C(O)R', -CO<sub>2</sub>R', -OC(O)R', -C(O)N(R')<sub>2</sub>, -OC(O)N(R')<sub>2</sub>, or -SO<sub>2</sub>R';

provided that when W is nitrogen and:

(i) A is -N-T<sub>(n)</sub>-R and R<sup>2</sup> is a saturated ring or

(ii) A is sulfur,  
then R<sup>1</sup> is other than an optionally substituted  
phenyl.

2. The compound according to claim 1, wherein  
said compound has formula **IIa**:



or a pharmaceutically acceptable derivative thereof.

3. The compound according to claim 2, wherein  
said compound has one or more features selected from the  
group consisting of:

(a) R<sup>1</sup> is hydrogen, Ar<sup>1</sup> or -T-Ar<sup>1</sup> wherein T is a C<sub>1-4</sub>  
alkylidene chain and Ar<sup>1</sup> is a 6-membered saturated,  
partially saturated, or aryl ring having zero to two  
heteroatoms independently selected from nitrogen, oxygen,  
or sulfur, and wherein each member of R<sup>1</sup> is optionally  
substituted with one -Z-R<sup>3</sup> and one to three additional  
groups independently selected from -CO<sub>2</sub>R, -OR, halogen,  
-NRSO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>, -NRCON(R)<sub>2</sub>, -NO<sub>2</sub>, or -N(R)<sub>2</sub>;

(b) R<sup>2</sup> is Ar<sup>2</sup> or -CH<sub>2</sub>-Ar<sup>2</sup> wherein Ar<sup>2</sup> is selected  
from 5-6 membered ring selected from carbocyclic, aryl,  
or a heterocyclyl or heteroaryl ring having one to two  
heteroatoms independently selected from nitrogen, oxygen  
or sulfur, and wherein Ar<sup>2</sup> is optionally substituted with  
one to five groups independently selected from -Z-R<sup>3</sup>, -R,  
halogen, -NO<sub>2</sub>, -CN, -OR, -SR, -N(R)<sub>2</sub>, -NRC(O)R,  
-NRC(O)N(R)<sub>2</sub>, -NRCO<sub>2</sub>R, -C(O)R, -CO<sub>2</sub>R, -C(O)N(R)<sub>2</sub>,

$-\text{OC}(\text{O})\text{N}(\text{R})_2$ ,  $-\text{S}(\text{O})\text{R}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{SO}_2\text{N}(\text{R})_2$ ,  $-\text{N}(\text{R})\text{SO}_2\text{R}$ ,  
 $-\text{N}(\text{R})\text{SO}_2\text{N}(\text{R})_2$ ,  $-\text{C}(\text{O})\text{C}(\text{O})\text{R}$ , or  $-\text{C}(\text{O})\text{CH}_2\text{C}(\text{O})\text{R}$ ; and

(c) G is hydrogen.

4. The compound according to claim 3, wherein said compound has one or more features selected from the group consisting of:

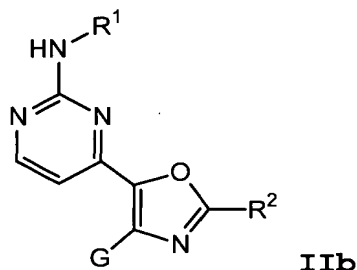
(a)  $\text{R}^1$  is selected from a phenyl, benzyl, pyridyl, piperidinyl, or cyclohexyl ring, wherein said ring is optionally substituted with benzyloxy, phenoxy,  $-\text{SO}_2\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{NO}_2$ ,  $-\text{NH}_2$ ,  $-\text{OMe}$ ,  $-\text{Br}$ ,  $-\text{Cl}$ ,  $-\text{CO}_2\text{Me}$ ,  $-\text{NHSO}_2\text{Me}$ ,  $-\text{NHSO}_2\text{Et}$ ,  $-\text{NHCON}(\text{Me})_2$ ,  $-\text{NHCON}(\text{Et})_2$ ,  $-\text{NHCOPYRROLIDIN-1-yl}$ ,  $-\text{NHCOMORPHOLIN-4-yl}$ ,  $-\text{O-CH}_2\text{-phenyl}$ ,  $-\text{O}(\text{CH}_2)_3\text{OH}$ ,  $-\text{O}(\text{CH}_2)_3\text{NH}(\text{CH}_2)_2\text{OH}$ ,  $-\text{O}(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{OH}$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{hydroxyethyl})(\text{methyl})$ ,  $-\text{O}(\text{CH}_2)_3\text{pyrrolidin-1-yl}$ ,  $-\text{O}(\text{CH}_2)_2\text{morpholin-4-yl}$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{Me})_2$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{Et})_2$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxyethyl piperazin-1-yl})$ ,  $-\text{O}(\text{CH}_2)_3\text{piperazin-1-yl}$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxymethylpiperidin-1-yl})$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxypiperidin-1-yl})$ ,  $-\text{NHCO}(\text{CH}_2)_3\text{N}(\text{Me})_2$ ,  $-\text{NHCO}(\text{CH}_2)_3\text{NCOCH}_3$ ,  $-\text{NHCOCH}_2\text{pyridin-2-yl}$ ,  $-\text{NHCOCH}_2(2\text{-aminothiazol-4-yl})$ ,  $-\text{NHCOCH}_2\text{cyclopropyl}$ ,  $-\text{NHCO}(\text{CH}_2)_2\text{N}(\text{Et})_2$ ,  $-\text{NHCO}(\text{CH}_2)_2\text{-(piperazin-2,5-dione-3-yl)}$ ,  $-\text{NHCO}_2\text{CH}_2\text{tetrahydrofuran-2-yl}$ ,  $-\text{NHCO}_2\text{tetrahydrofuran-2-yl}$ ,  $-\text{NHCO}_2\text{tetrahydropyran-4-yl}$ , or  $-\text{NHCO}_2\text{CH}_2\text{tetrahydropyran-2-yl}$ ;

(b)  $\text{R}^2$  is selected from phenyl, pyridyl, pyrimidinyl, cyclohexyl, piperidinyl, furanyl, or benzyl, wherein  $\text{R}^2$  is optionally substituted with phenyl, phenoxy, benzyl, benzyloxy, pyridyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 3-aminophenyl, N-BOC-pyrrolyl, 4-chlorophenyl, 3-ethoxypyridyl, 2-methoxypyridyl, 2,5-dimethylisoxazolyl, 3-ethoxyphenyl, 4-isopropylphenyl, 4-F-3-Cl-phenyl, pyrrolyl, pyrimidinyl, chloro, bromo,

fluoro, trifluoromethyl, -OH, -NH<sub>2</sub>, methyl, methoxy, or ethoxy; and

(c) G is hydrogen.

5. The compound according to claim 1, wherein said compound has the formula **IIb**:



or a pharmaceutically acceptable derivative thereof.

6. The compound according to claim 5, wherein said compound has one or more features selected from the group consisting of:

(a) R<sup>1</sup> is hydrogen, Ar<sup>1</sup> or -T-Ar<sup>1</sup> wherein T is a C<sub>1-4</sub> alkylidene chain and Ar<sup>1</sup> is a 6-membered saturated, partially saturated, or aryl ring having zero to two heteroatoms independently selected from nitrogen, oxygen, or sulfur, and wherein each member of R<sup>1</sup> is optionally substituted with one -Z-R<sup>3</sup> and one to three additional groups independently selected from -CO<sub>2</sub>R, -OR, halogen, -NRSO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>, -NRCON(R)<sub>2</sub>, -NO<sub>2</sub>, or -N(R)<sub>2</sub>;

(b) R<sup>2</sup> is Ar<sup>2</sup> or -CH<sub>2</sub>-Ar<sup>2</sup> wherein Ar<sup>2</sup> is selected from 5-6 membered ring selected from carbocyclic, aryl, or a heterocyclyl or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen or sulfur, and wherein Ar<sup>2</sup> is optionally substituted with one to five groups independently selected from -Z-R<sup>3</sup>, -R, halogen, -NO<sub>2</sub>, -CN, -OR, -SR, -N(R)<sub>2</sub>, -NRC(O)R, -NRC(O)N(R)<sub>2</sub>, -NRCO<sub>2</sub>R, -C(O)R, -CO<sub>2</sub>R, -C(O)N(R)<sub>2</sub>,

-OC(O)N(R)<sub>2</sub>, -S(O)R, -SO<sub>2</sub>R, -SO<sub>2</sub>N(R)<sub>2</sub>, -N(R)SO<sub>2</sub>R,  
-N(R)SO<sub>2</sub>N(R)<sub>2</sub>, -C(O)C(O)R, or -C(O)CH<sub>2</sub>C(O)R; and

(c) G is hydrogen.

7. The compound according to claim 6, wherein said compound has one or more features selected from the group consisting of:

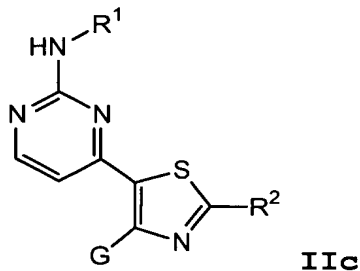
(a) R<sup>1</sup> is selected from a phenyl, benzyl, pyridyl, piperidinyl, or cyclohexyl ring, wherein said ring is optionally substituted with benzyloxy, phenoxy, -SO<sub>2</sub>NH<sub>2</sub>, -OH, -NO<sub>2</sub>, -NH<sub>2</sub>, -OMe, -Br, -Cl, -CO<sub>2</sub>Me, -NHSO<sub>2</sub>Me, -NHSO<sub>2</sub>Et, -NHCON(Me)<sub>2</sub>, -NHCON(Et)<sub>2</sub>, -NHCOPYRROLIDIN-1-yl, -NHCOMORPHOLIN-4-yl, -O-CH<sub>2</sub>-phenyl, -O(CH<sub>2</sub>)<sub>3</sub>OH, -O(CH<sub>2</sub>)<sub>3</sub>NH(CH<sub>2</sub>)<sub>2</sub>OH, -O(CH<sub>2</sub>)<sub>2</sub>NH(CH<sub>2</sub>)<sub>2</sub>OH, -O(CH<sub>2</sub>)<sub>3</sub>N(hydroxyethyl)(methyl), -O(CH<sub>2</sub>)<sub>3</sub>pyrrolidin-1-yl, -O(CH<sub>2</sub>)<sub>2</sub>morpholin-4-yl, -O(CH<sub>2</sub>)<sub>3</sub>N(Me)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>3</sub>N(Et)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxyethyl piperazin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>piperazin-1-yl, -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxymethylpiperidin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxypiperidin-1-yl), -NHCO(CH<sub>2</sub>)<sub>3</sub>N(Me)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>3</sub>NCOCH<sub>3</sub>, -NHCOCH<sub>2</sub>pyridin-2-yl, -NHCOCH<sub>2</sub>(2-aminothiazol-4-yl), -NHCOCH<sub>2</sub>cyclopropyl, -NHCO(CH<sub>2</sub>)<sub>2</sub>N(Et)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>2</sub>-(piperazin-2,5-dione-3-yl), -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydropyran-4-yl, or -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydropyran-2-yl;

(b) R<sup>2</sup> is selected from phenyl, pyridyl, pyrimidinyl, cyclohexyl, piperidinyl, furanyl, or benzyl, wherein R<sup>2</sup> is optionally substituted with phenyl, phenoxy, benzyl, benzyloxy, pyridyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 3-aminophenyl, N-BOC-pyrrolyl, 4-chlorophenyl, 3-ethoxypyridyl, 2-methoxypyridyl, 2,5-dimethylisoxazolyl, 3-ethoxyphenyl, 4-isopropylphenyl, 4-F-3-Cl-phenyl, pyrrolyl, pyrimidinyl, chloro, bromo,

fluoro, trifluoromethyl, -OH, -NH<sub>2</sub>, methyl, methoxy, or ethoxy; and

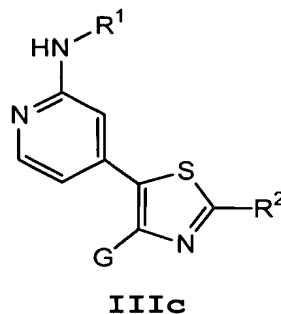
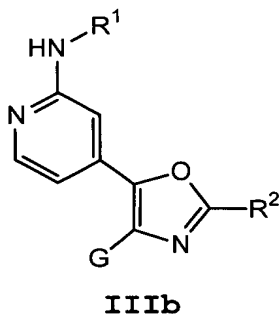
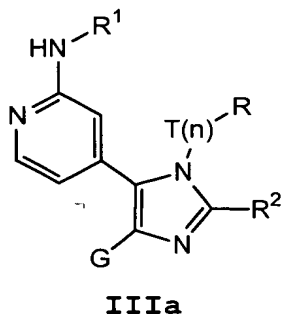
(c) G is hydrogen.

8. The compound according to claim 1, wherein said compound has the formula **IIc**:



or a pharmaceutically acceptable derivative thereof.

9. The compound according to claim 1, wherein said compound has the formula **IIIa**, **IIIb** or **IIIc**:



or a pharmaceutically acceptable derivative thereof.

10. The compound according to claim 8 or 9, wherein said compound has one or more features selected from the group consisting of:

(a) R<sup>1</sup> is hydrogen, Ar<sup>1</sup> or -T-Ar<sup>1</sup> wherein T is a C<sub>1-4</sub> alkylidene chain and Ar<sup>1</sup> is a 6-membered saturated, partially saturated, or aryl ring having zero to two heteroatoms independently selected from nitrogen, oxygen, or sulfur, and wherein each member of R<sup>1</sup> is optionally substituted with one -Z-R<sup>3</sup> and one to three additional



groups independently selected from  $-\text{CO}_2\text{R}$ ,  $-\text{OR}$ , halogen,  $-\text{NRSO}_2\text{R}$ ,  $-\text{SO}_2\text{N}(\text{R})_2$ ,  $-\text{NRCON}(\text{R})_2$ ,  $-\text{NO}_2$ , or  $-\text{N}(\text{R})_2$ ;

(b)  $\text{R}^2$  is  $\text{Ar}^2$  or  $-\text{CH}_2-\text{Ar}^2$  wherein  $\text{Ar}^2$  is selected from 5-6 membered ring selected from carbocyclic, aryl, or a heterocyclyl or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen or sulfur, and wherein  $\text{Ar}^2$  is optionally substituted with one to five groups independently selected from  $-\text{Z}-\text{R}^3$ ,  $-\text{R}$ , halogen,  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $-\text{OR}$ ,  $-\text{SR}$ ,  $-\text{N}(\text{R})_2$ ,  $-\text{NRC}(\text{O})\text{R}$ ,  $-\text{NRC}(\text{O})\text{N}(\text{R})_2$ ,  $-\text{NRCO}_2\text{R}$ ,  $-\text{C}(\text{O})\text{R}$ ,  $-\text{CO}_2\text{R}$ ,  $-\text{C}(\text{O})\text{N}(\text{R})_2$ ,  $-\text{OC}(\text{O})\text{N}(\text{R})_2$ ,  $-\text{S}(\text{O})\text{R}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{SO}_2\text{N}(\text{R})_2$ ,  $-\text{N}(\text{R})\text{SO}_2\text{R}$ ,  $-\text{N}(\text{R})\text{SO}_2\text{N}(\text{R})_2$ ,  $-\text{C}(\text{O})\text{C}(\text{O})\text{R}$ , or  $-\text{C}(\text{O})\text{CH}_2\text{C}(\text{O})\text{R}$ ; and

(c) G is hydrogen.

11. The compound according to claim 10, wherein said compound has one or more features selected from the group consisting of:

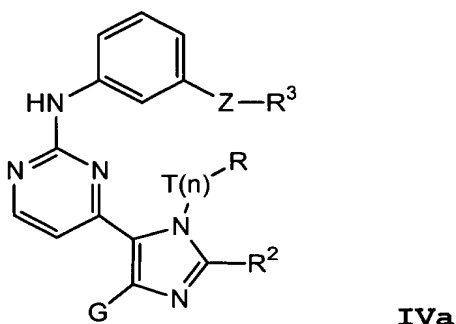
(a)  $\text{R}^1$  is selected from a phenyl, benzyl, pyridyl, piperidinyl, or cyclohexyl ring, wherein said ring is optionally substituted with benzyloxy, phenoxy,  $-\text{SO}_2\text{NH}_2$ ,  $-\text{OH}$ ,  $-\text{NO}_2$ ,  $-\text{NH}_2$ ,  $-\text{OMe}$ ,  $-\text{Br}$ ,  $-\text{Cl}$ ,  $-\text{CO}_2\text{Me}$ ,  $-\text{NHSO}_2\text{Me}$ ,  $-\text{NHSO}_2\text{Et}$ ,  $-\text{NHCON}(\text{Me})_2$ ,  $-\text{NHCON}(\text{Et})_2$ ,  $-\text{NHCOPYRROLIDIN-1-yl}$ ,  $-\text{NHCOMORPHOLIN-4-yl}$ ,  $-\text{O}-\text{CH}_2\text{-phenyl}$ ,  $-\text{O}(\text{CH}_2)_3\text{OH}$ ,  $-\text{O}(\text{CH}_2)_3\text{NH}(\text{CH}_2)_2\text{OH}$ ,  $-\text{O}(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{OH}$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{hydroxyethyl})(\text{methyl})$ ,  $-\text{O}(\text{CH}_2)_3\text{pyrrolidin-1-yl}$ ,  $-\text{O}(\text{CH}_2)_2\text{morpholin-4-yl}$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{Me})_2$ ,  $-\text{O}(\text{CH}_2)_3\text{N}(\text{Et})_2$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxyethyl piperazin-1-yl})$ ,  $-\text{O}(\text{CH}_2)_3\text{piperazin-1-yl}$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxymethylpiperidin-1-yl})$ ,  $-\text{O}(\text{CH}_2)_3(4\text{-hydroxypiperidin-1-yl})$ ,  $-\text{NHCO}(\text{CH}_2)_3\text{N}(\text{Me})_2$ ,  $-\text{NHCO}(\text{CH}_2)_3\text{NCOCH}_3$ ,  $-\text{NHCOCH}_2\text{pyridin-2-yl}$ ,  $-\text{NHCOCH}_2(2\text{-aminothiazol-4-yl})$ ,  $-\text{NHCOCH}_2\text{cyclopropyl}$ ,  $-\text{NHCO}(\text{CH}_2)_2\text{N}(\text{Et})_2$ ,  $-\text{NHCO}(\text{CH}_2)_2\text{-(piperazin-2,5-dione-3-yl)}$ ,  $-\text{NHCO}_2\text{CH}_2\text{tetrahydrofuran-2-yl}$ ,  $-\text{NHCO}_2\text{tetrahydrofuran-2-yl}$ ,

-NHCO<sub>2</sub>tetrahydropyran-4-yl, or -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydropyran-2-yl;

(b) R<sup>2</sup> is selected from phenyl, pyridyl, pyrimidinyl, cyclohexyl, piperidinyl, furanyl, or benzyl, wherein R<sup>2</sup> is optionally substituted with phenyl, phenoxy, benzyl, benzyloxy, pyridyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 3-aminophenyl, N-BOC-pyrrolyl, 4-chlorophenyl, 3-ethoxypyridyl, 2-methoxypyridyl, 2,5-dimethylisoxazolyl, 3-ethoxyphenyl, 4-isopropylphenyl, 4-F-3-Cl-phenyl, pyrrolyl, pyrimidinyl, chloro, bromo, fluoro, trifluoromethyl, -OH, -NH<sub>2</sub>, methyl, methoxy, or ethoxy; and

(c) G is hydrogen.

12. The compound according to claim 1, wherein said compound has the formula **IVa**:



or a pharmaceutically acceptable derivative thereof.

13. The compound according to claim 12, wherein said compound has one or more features selected from the group consisting of:

(a) R<sup>2</sup> is Ar<sup>2</sup> or -CH<sub>2</sub>-Ar<sup>2</sup> wherein Ar<sup>2</sup> is selected from 5-6 membered ring selected from carbocyclic, aryl, or a heterocyclyl or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen or sulfur, and wherein Ar<sup>2</sup> is optionally substituted by wherein Ar<sup>2</sup> is optionally substituted with one to five

groups independently selected from  $-Z-R^3$ ,  $-R$ , halogen,  $-NO_2$ ,  $-CN$ ,  $-OR$ ,  $-SR$ ,  $-N(R)_2$ ,  $-NRC(O)R$ ,  $-NRC(O)N(R)_2$ ,  $-NRCO_2R$ ,  $-C(O)R$ ,  $-CO_2R$ ,  $-C(O)N(R)_2$ ,  $-OC(O)N(R)_2$ ,  $-S(O)R$ ,  $-SO_2R$ ,  $-SO_2N(R)_2$ ,  $-N(R)SO_2R$ ,  $-N(R)SO_2N(R)_2$ ,  $-C(O)C(O)R$ , or  $-C(O)CH_2C(O)R$ ;

(b) G is hydrogen;

(c) Z is a  $C_{1-4}$  alkylidene chain wherein one methylene unit of Z is optionally replaced by  $-O-$ ,  $-NH-$ ,  $-NHC(O)-$ ,  $-NHC(O)O-$ ,  $-NHSO_2-$ ,  $-C(O)NH-$ ; and

(d)  $R^3$  is selected from  $-N(R)_2$ ,  $-NHC(O)R$ , or  $Ar^3$  wherein  $Ar^3$  is a 5-6 membered heterocyclic or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen, or sulfur and  $Ar^3$  is optionally substituted with  $-R'$ ,  $-OR'$ ,  $-N(R')_2$ , or oxo.

14. The compound according to claim 13, wherein said compound has one or more features selected from the group consisting of:

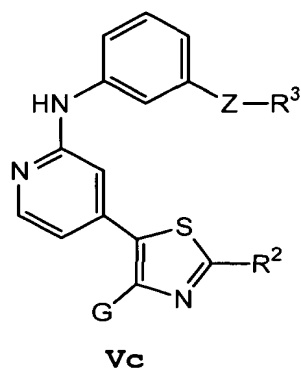
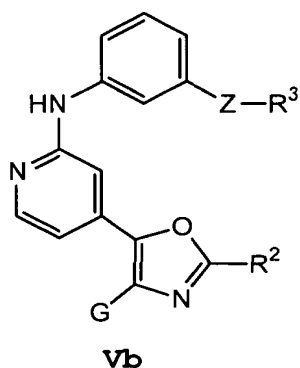
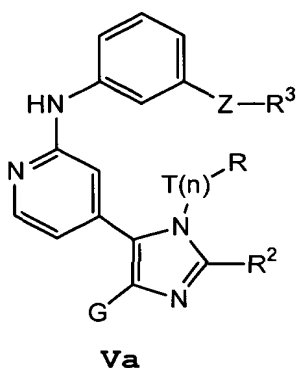
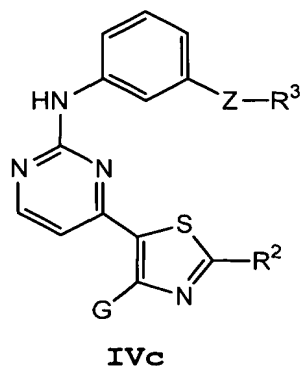
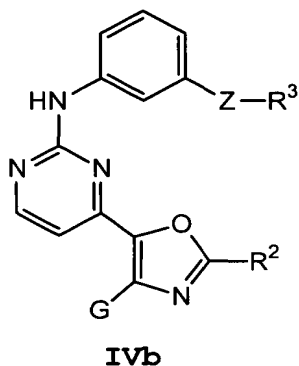
(a)  $R^2$  is selected from phenyl, pyridyl, pyrimidinyl, cyclohexyl, piperidinyl, furanyl, or benzyl, wherein each member of  $R^2$  is optionally substituted with phenyl, phenoxy, benzyl, benzyloxy, pyridyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 3-aminophenyl, N-BOC-pyrrolyl, 4-chlorophenyl, 3-ethoxypyridyl, 2-methoxypyridyl, 2,5-dimethylisoxazolyl, 3-ethoxyphenyl, 4-isopropylphenyl, 4-F-3-Cl-phenyl, pyrrolyl, pyrimidinyl, chloro, bromo, fluoro, trifluoromethyl,  $-OH$ ,  $-NH_2$ , methyl, methoxy, or ethoxy;

(b) G is hydrogen; and

(c)  $-Z-R^3$  is selected from  $-O-CH_2$ -phenyl,  $-O(CH_2)_3OH$ ,  $-O(CH_2)_3NH(CH_2)_2OH$ ,  $-O(CH_2)_2NH(CH_2)_2OH$ ,  $-O(CH_2)_3N(hydroxyethyl)(methyl)$ ,  $-O(CH_2)_3pyrrolidin-1-yl$ ,  $-O(CH_2)_2morpholin-4-yl$ ,  $-O(CH_2)_3N(Me)_2$ ,  $-O(CH_2)_3N(Et)_2$ ,

-O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxyethyl piperazin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>piperazin-1-yl, -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxymethylpiperidin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxypiperidin-1-yl), -NHCO(CH<sub>2</sub>)<sub>3</sub>N(Me)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>3</sub>NCOCH<sub>3</sub>, -NHCOCH<sub>2</sub>pyridin-2-yl, -NHCOCH<sub>2</sub>(2-aminothiazol-4-yl), -NHCOCH<sub>2</sub>cyclopropyl, -NHCO(CH<sub>2</sub>)<sub>2</sub>N(Et)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>2</sub>-(piperazin-2,5-dione-3-yl), -NHC(O)-pyrrolidin-1-yl, -NHCOMorpholin-4-yl, -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydropyran-4-yl, or -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydropyran-2-yl.

15. The compound according to claim 1, wherein said compound has the formula **IVb**, **IVc**, **Va**, **Vb**, or **Vc**:



or a pharmaceutically acceptable derivative thereof.

16. The compound according to claim 15, wherein said compound has one or more features selected from the group consisting of:

(a)  $R^2$  is  $Ar^2$  or  $-CH_2-Ar^2$  wherein  $Ar^2$  is selected from 5-6 membered ring selected from carbocyclic, aryl, or a heterocyclyl or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen or sulfur, and wherein  $Ar^2$  is optionally substituted by wherein  $Ar^2$  is optionally substituted with one to five groups independently selected from  $-Z-R^3$ ,  $-R$ , halogen,  $-NO_2$ ,  $-CN$ ,  $-OR$ ,  $-SR$ ,  $-N(R)_2$ ,  $-NRC(O)R$ ,  $-NRC(O)N(R)_2$ ,  $-NRCO_2R$ ,  $-C(O)R$ ,  $-CO_2R$ ,  $-C(O)N(R)_2$ ,  $-OC(O)N(R)_2$ ,  $-S(O)R$ ,  $-SO_2R$ ,  $-SO_2N(R)_2$ ,  $-N(R)SO_2R$ ,  $-N(R)SO_2N(R)_2$ ,  $-C(O)C(O)R$ , or  $-C(O)CH_2C(O)R$ ;

(b)  $G$  is hydrogen;

(c)  $Z$  is a  $C_{1-4}$  alkylidene chain wherein one methylene unit of  $Z$  is optionally replaced by  $-O-$ ,  $-NH-$ ,  $-NHC(O)-$ ,  $-NHC(O)O-$ ,  $-NHSO_2-$ ,  $-C(O)NH-$ ; and

(d)  $R^3$  is selected from  $-N(R)_2$ ,  $-NHC(O)R$ , or  $Ar^3$  wherein  $Ar^3$  is a 5-6 membered heterocyclic or heteroaryl ring having one to two heteroatoms independently selected from nitrogen, oxygen, or sulfur and  $Ar^3$  is optionally substituted with  $-R'$ ,  $-OR'$ ,  $-N(R')_2$ , or oxo.

17. The compound according to claim 16, wherein said compound has one or more features selected from the group consisting of:

(a)  $R^2$  is selected from phenyl, pyridyl, pyrimidinyl, cyclohexyl, piperidinyl, furanyl, or benzyl, wherein each member of  $R^2$  is optionally substituted with phenyl, phenoxy, benzyl, benzyloxy, pyridyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 3-aminophenyl, N-BOC-pyrrolyl, 4-chlorophenyl, 3-ethoxypyridyl, 2-methoxypyridyl, 2,5-dimethylisoxazolyl, 3-ethoxyphenyl, 4-isopropylphenyl, 4-F-3-Cl-phenyl, pyrrolyl,

pyrimidinyl, chloro, bromo, fluoro, trifluoromethyl, -OH, -NH<sub>2</sub>, methyl, methoxy, or ethoxy;

(b) G is hydrogen; and

(c) -Z-R<sup>3</sup> is selected from -O-CH<sub>2</sub>-phenyl, -O(CH<sub>2</sub>)<sub>3</sub>OH, -O(CH<sub>2</sub>)<sub>3</sub>NH(CH<sub>2</sub>)<sub>2</sub>OH, -O(CH<sub>2</sub>)<sub>2</sub>NH(CH<sub>2</sub>)<sub>2</sub>OH, -O(CH<sub>2</sub>)<sub>3</sub>N(hydroxyethyl)(methyl), -O(CH<sub>2</sub>)<sub>3</sub>pyrrolidin-1-yl, -O(CH<sub>2</sub>)<sub>2</sub>morpholin-4-yl, -O(CH<sub>2</sub>)<sub>3</sub>N(Me)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>3</sub>N(Et)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxyethyl piperazin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>piperazin-1-yl, -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxymethylpiperidin-1-yl), -O(CH<sub>2</sub>)<sub>3</sub>(4-hydroxypiperidin-1-yl), -NHCO(CH<sub>2</sub>)<sub>3</sub>N(Me)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>3</sub>NCOCH<sub>3</sub>, -NHCOCH<sub>2</sub>pyridin-2-yl, -NHCOCH<sub>2</sub>(2-aminothiazol-4-yl), -NHCOCH<sub>2</sub>cyclopropyl, -NHCO(CH<sub>2</sub>)<sub>2</sub>N(Et)<sub>2</sub>, -NHCO(CH<sub>2</sub>)<sub>2</sub>-(piperazin-2,5-dione-3-yl), -NHC(O)-pyrrolidin-1-yl, -NHCOMorpholin-4-yl, -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydrofuran-2-yl, -NHCO<sub>2</sub>tetrahydropyran-4-yl, or -NHCO<sub>2</sub>CH<sub>2</sub>tetrahydropyran-2-yl.

18. The compound according to claim 1 selected from those listed in Tables 1-5.

19. A composition comprising a compound according to any one of claims 1 to 18, and a pharmaceutically acceptable carrier, adjuvant, or vehicle.

20. The composition according to claim 19, additionally comprising a therapeutic agent selected from an anti-proliferative agent, an anti-inflammatory agent, an immunomodulatory agent, a neurotrophic factor, an agent for treating cardiovascular disease, an agent for treating liver disease, an anti-viral agent, an agent for treating blood disorders, an agent for treating diabetes,

an agent for treating immunodeficiency disorders, or an agent for treating cancer.

21. A method of inhibiting JNK, Lck, Src, or Aurora-2 kinase activity in a biological sample comprising the step of contacting said biological sample with:

- (a) a compound according to claim 1; or
- (b) a composition according to claim 19.

22. A method of treating or lessening the severity of a JNK-, Lck-, Src-, or Aurora-2-mediated disease or condition in a patient comprising the step of administering to said patient a composition according to claim 19.

23. A method of treating or lessening the severity of an inflammatory disease, autoimmune disease, destructive bone disorder, proliferative disorder, infectious disease, neurodegenerative disease, allergy, reperfusion/ischemia in stroke, heart attack, angiogenic disorder, organ hypoxia, vascular hyperplasia, cardiac hypertrophy, thrombin-induced platelet aggregation, or a condition associated with proinflammatory cytokines, comprising the step of administering to said patient a composition according to claim 19.

24. The method according to claim 23, wherein said method is used to treat or prevent an inflammatory disease selected from acute pancreatitis, chronic pancreatitis, asthma, allergies, or adult respiratory distress syndrome.

25. The method according to claim 23, wherein said method is used to treat or prevent an autoimmune

disease selected from glomerulonephritis, rheumatoid arthritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Graves' disease, autoimmune gastritis, diabetes, autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, atopic dermatitis, chronic active hepatitis, myasthenia gravis, multiple sclerosis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, psoriasis, or graft vs. host disease.

26. The method according to claim 23, wherein said method is used to treat or prevent a destructive bone disorders selected from osteoarthritis, osteoporosis or multiple myeloma-related bone disorder.

27. The method according to claim 23, wherein said method is used to treat or prevent a proliferative disease selected from acute myelogenous leukemia, chronic myelogenous leukemia, metastatic melanoma, Kaposi's sarcoma, multiple myeloma, .

28. The method according to claim 23, wherein said method is used to treat or prevent neurodegenerative disease selected from Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's disease, cerebral ischemia or neurodegenerative disease caused by traumatic injury, glutamate neurotoxicity or hypoxia.

29. The method according to claim 23, wherein said method is used to treat or prevent ischemia/reperfusion in stroke or myocardial ischemia, renal ischemia, heart attacks, organ hypoxia or thrombin-induced platelet aggregation.



30. The method according to claim 23, wherein said method is used to treat or prevent a condition associated with T-cell activation or pathologic immune responses.

31. The method according to claim 23, wherein said method is used to treat or prevent an angiogenic disorder selected from solid tumors, ocular neovascularization, or infantile haemangiomas.

32. The method according to claim 22, wherein said disease is selected from hypercalcemia, restenosis, hypercalcemia, osteoporosis, osteoarthritis, symptomatic treatment of bone metastasis, rheumatoid arthritis, inflammatory bowel disease, multiple sclerosis, psoriasis, lupus, graft vs. host disease, T-cell mediated hypersensitivity disease, Hashimoto's thyroiditis, Guillain-Barre syndrome, chronic obstructive pulmonary disorder, contact dermatitis, cancer, Paget's disease, asthma, ischemic or reperfusion injury, allergic disease, atopic dermatitis, or allergic rhinitis.

33. The method according to claim 32; wherein said disease is selected from hypercalcemia, osteoporosis, osteoarthritis, or symptomatic treatment of bone metastasis.

34. The method according to claim 22, wherein said disease is selected from autoimmune diseases, allergies, rheumatoid arthritis, or leukemia.

35. The method according to claim 22, wherein said disease is selected from melanoma, leukemia, or a cancer selected from colon, breast, gastric, ovarian,

cervical, melanoma, renal, prostate, lymphoma, neuroblastoma, pancreatic, leukemia and bladder.

36. The method according to claim 22, comprising the additional step of administering to said patient an additional therapeutic agent selected from an anti-proliferative agent, an anti-inflammatory agent, an immunomodulatory agent, a neurotrophic factor, an agent for treating cardiovascular disease, an agent for treating liver disease, an anti-viral agent, an agent for treating blood disorders, an agent for treating diabetes, or an agent for treating immunodeficiency disorders, wherein:

said additional therapeutic agent is appropriate for the disease being treated; and

said additional therapeutic agent is administered together with said composition as a single dosage form or separately from said composition as part of a multiple dosage form.

37. A composition for coating an implantable device comprising a compound according to claim 1 and a carrier suitable for coating said implantable device.

38. An implantable device coated with a composition according to claim 37.